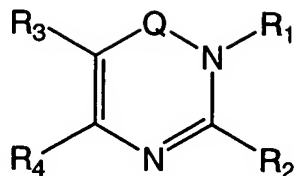


What is claimed is:

1. A compound comprising Formula XXVIII:



XXVIII

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₃ and R₄ are taken together to form a substituted or unsubstituted 5 or 6 membered ring; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

2. A compound according to claim 1, wherein U provides 1-4 atom separation between V and the ring.
3. A compound according to claim 1, wherein U provides 1-3 atom separation between V and the ring.
4. A compound according to claim 1, wherein U is selected from the group consisting of -CH₂-, -CH₂CH₂-, -CH₂CH₂CH₂-, -C(O)-, -CH₂C(O)-, -C(O)CH₂-, -CH₂-C(O)CH₂-, -C(O)CH₂CH₂-, -CH₂CH₂C(O)-, -O-, -OCH₂-, -CH₂O-, -CH₂OCH₂-, -OCH₂CH₂-, -CH₂CH₂O-, -N(CH₃)-,

-NHCH₂-, -CH₂NH-, -CH₂NHCH₂-, -NHCH₂CH₂-, -CH₂CH₂NH-, -NH-C(O)-, -NCH₃-C(O)-, -C(O)NH-, -C(O)NCH₃-, -NHC(O)CH₂-, -C(O)NHCH₂-, -C(O)CH₂NH-, -CH₂NHC(O)-, -CH₂C(O)NH-, -NHCH₂C(O)-, -S-, -SCH₂-, -CH₂S-, -SCH₂CH₂-, -CH₂SCH₂-, -CH₂CH₂S-, -C(O)S-, -C(O)SCH₂-, -CH₂C(O)S-, -C(O)CH₂S-, and -CH₂SC(O)-, each substituted or unsubstituted.

5. A compound according to claim 1, wherein U is selected from the group consisting of -CH₂-, -CHR₉-, -C(R₉)(R₉)-, -O-, -N(H)-, -N(R₉)-, and -S-.

6. A compound according to claim 1, wherein V is selected from the group consisting of a primary, secondary or tertiary amine, a heterocycloalkyl comprising a nitrogen ring atom, and a heteroaryl comprising a nitrogen ring atom.

7. A compound according to claim 1, wherein the basic nitrogen of V is separated from the ring atom to which R₂ is attached by between 1-5 atoms.

8. A compound according to claim 1, wherein the basic nitrogen of V forms part of a primary, secondary or tertiary amine.

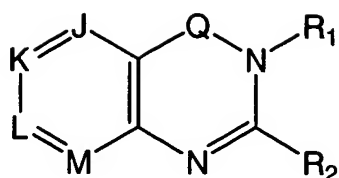
9. A compound according to claim 1, wherein the basic nitrogen of V is a nitrogen ring atom of a heterocycloalkyl comprising a nitrogen ring atom or a heteroaryl comprising a nitrogen ring atom.

10. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted aryl.

11. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted phenyl.

12. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted heteroaryl.

13. A compound comprising Formula XXIX:



XXIX

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

J, K, L, and M are each independently selected from the group of CR₁₂ and N;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R₁₂ is hydrogen or is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

14. A compound according to claim 13, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

15. A compound according to claim 13, wherein the compound is a compound where J comprises a nitrogen ring atom.

16. A compound according to claim 13, wherein the compound is a compound where K comprises a nitrogen ring atom.
17. A compound according to claim 13, wherein the compound is a compound where L comprises a nitrogen ring atom.
18. A compound according to claim 13, wherein the compound is a compound where M comprises a nitrogen ring atom.
19. A compound according to claim 13, wherein the compound is a compound where J and L each comprise a nitrogen ring atom or J and K each comprise a nitrogen ring atom.
20. A compound according to claim 13, wherein the compound is a compound where K and L each comprise a nitrogen ring atom.
21. A compound according to claim 13, wherein the compound is a compound where K and M each comprise a nitrogen ring atom.
22. A compound according to claim 13, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
23. A compound according to claim 13, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
24. A compound according to claim 13, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
25. A compound according to claim 13, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to or bridged to the ring formed by J, K, L, and M.

26. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
27. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, and alkoxy, each substituted or unsubstituted.
28. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryl, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, thio, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
29. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of chloro, bromo, fluoro, iodo, methoxy, morpholin-4-yl, and pyrrolidin-1-yl, each substituted or unsubstituted.
30. A compound according to claim 13, wherein L is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
31. A compound according to claim 13, wherein L is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, morpholin-4-yl, and pyrrolidin-1-yl, and alkoxy, each substituted or unsubstituted.

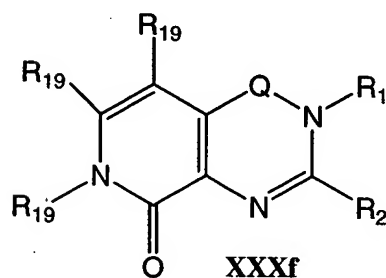
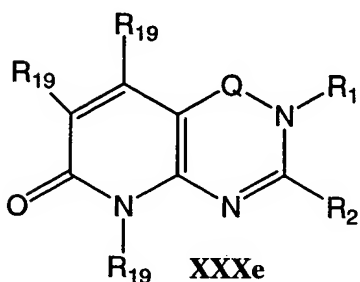
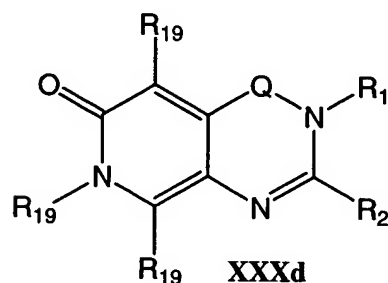
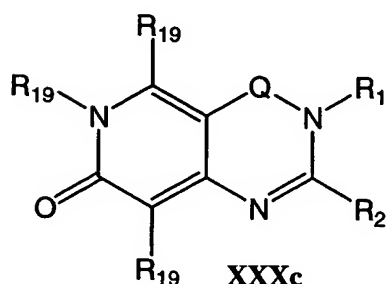
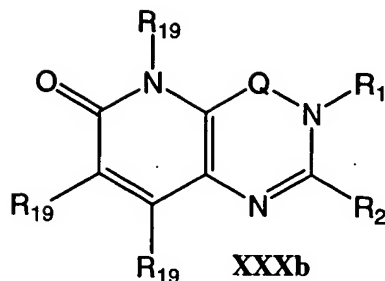
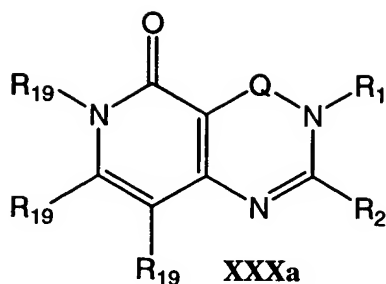
32. A compound according to claim 13, wherein K and L are independently CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

33. A compound according to claim 13, wherein:

K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted; and

L is nitrogen.

34. A compound comprising a member selected from the group consisting of Formulae XXXa, XXXb, XXXc, XXXd, XXXe and XXXf:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

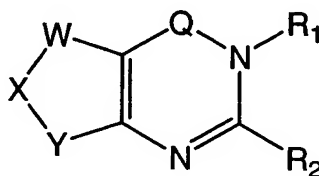
R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R₁₉ is independently selected from the group consisting of hydrogen, halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl,

aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R_{19} is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R_{19} is bound is nitrogen.

35. A compound according to claim 34, wherein two R_{19} are taken together to form a substituted or unsubstituted fused or bridged ring.

36. A compound comprising Formula XXXI:



XXXI

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

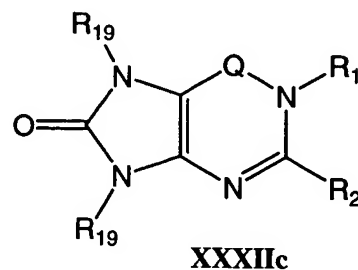
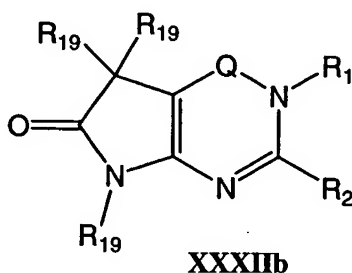
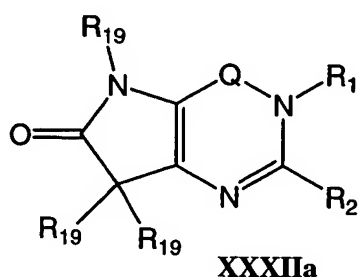
R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R_9 is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

37. A compound according to claim 36, wherein at least one of W, X, and Y is CO.

38. A compound according to claim 36, wherein at least one of W, X, and Y is SO.
39. A compound according to claim 36, wherein at least one of W, X, and Y is SO₂.
40. A compound according to claim 36, wherein at least one of W, X, and Y comprises a ring nitrogen atom.
41. A compound according to claim 36, wherein at least two of W, X, and Y comprises a ring nitrogen atom.
42. A compound according to claim 36, wherein W and Y are taken together to form a substituted or unsubstituted bridged ring relative to the ring formed by W, X and Y.
43. A compound according to claim 36, wherein two of W, X, and Y are taken together to form a substituted or unsubstituted ring fused to the ring formed by W, X and Y.
44. A compound comprising a member selected from the group consisting of Formulae XXXIIa, XXXIIb or XXXIIc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

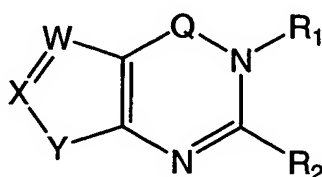
R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R_9 is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

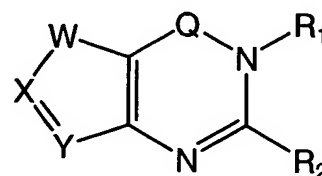
each R_{19} is independently selected from the group consisting of hydrogen, halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R_{19} is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R_{19} is bound is nitrogen.

45. A compound according to claim 44, wherein two R_{19} are taken together to form a substituted or unsubstituted bridged or spiro ring.

46. A compound comprising Formula XXXIIIa or Formula XXXIIIb:



XXXIIIa



XXXIIIb

wherein

Q is selected from the group consisting of CO, CS, SO, SO_2 , or $C=NR_9$;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

47. A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIa wherein Y is selected from the group consisting of CO, SO or SO₂.

48. A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIb wherein W is selected from the group consisting of CO, SO or SO₂.

49. A compound according to claim 46, wherein W comprise a ring nitrogen atom.

50. A compound according to claim 46, wherein X comprise a ring nitrogen atom.

51. A compound according to claim 46, wherein Y comprise a ring nitrogen atom.

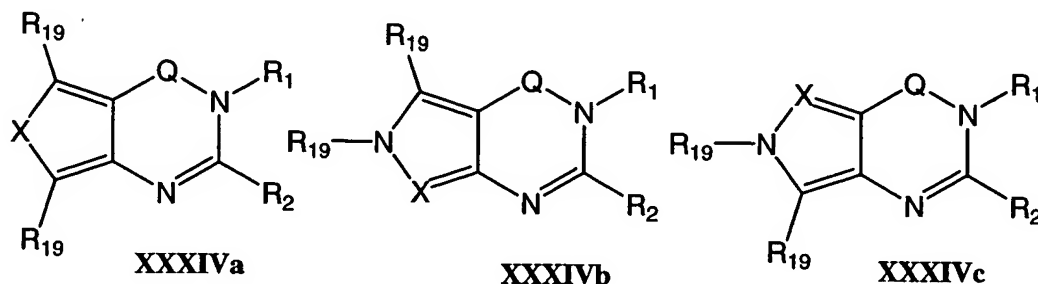
52. A compound according to claim 46, wherein at least two of W, X, and Y comprises a ring nitrogen atom.

53. A compound according to claim 46, wherein two of W, X, and Y are taken together and substituted through available valencies to form a substituted or unsubstituted ring fused or bridged to the ring formed by W, X and Y.

54. A compound according to claim 46, wherein W, X, and Y are selected such that the compound comprises a ring system selected from the group consisting of 4-oxo-4H-thieno[3,2-

d]pyrimidine, 7-oxo-1,2,3,7-tetrahydro-8-thia-4,6-diaza-cyclopenta[a]indene, 7-methyl-6-oxo-6,7-dihydro-purine, and 6-oxo-6,9-dihydro-purine, each substituted or unsubstituted.

55. A compound comprising Formulae XXXIVa, XXXIVb, or XXXIVc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

X is selected from the group of moieties where the ring atom is either C, N, O or S in Formula XXIVa, or X is selected from the group of moieties where the ring atom is either C or N in Formula XXXIVb or Formula XXXIVc;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

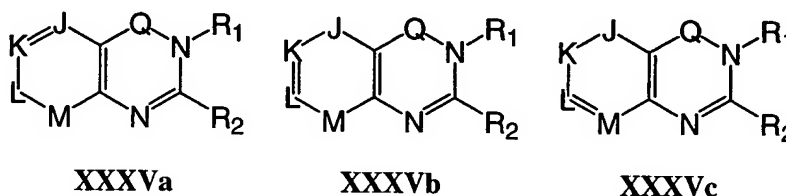
each R₁₉ is independently selected from the group consisting of hydrogen, halo, perhalo(C₁₋₁₀)alkyl, CF₃, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted,

with the proviso that R₁₉ is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R₁₉ is bound is nitrogen.

56. A compound according to claim 55, wherein two R₁₉ are taken together to form a substituted or unsubstituted ring.

57. A compound according to claim 55, wherein the compound comprises Formula XXXIVa and the two R₁₉ are taken together to form a substituted or unsubstituted fused or bridged ring.

58. A compound comprising a member selected from the group of Formulae XXXVa, XXXVb and XXXVc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

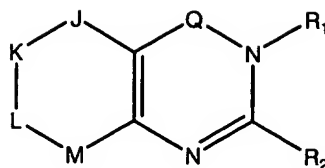
J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring; and

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein.

59. A compound according to claim 58, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

60. A compound according to claim 58, wherein at least one of J, K, L and M comprise a nitrogen ring atom.
61. A compound according to claim 58, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.
62. A compound according to claim 58, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.
63. A compound according to claim 58, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
64. A compound according to claim 58, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
65. A compound according to claim 58, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
66. A compound according to claim 58, wherein at least one of J, K, L and M is CO.
67. A compound according to claim 58, wherein at least one of J, K, L and M is SO.
68. A compound according to claim 58, wherein at least one of J, K, L and M is SO₂.
69. A compound according to claim 58, wherein the ring formed by J, K, L, and M comprises substituents, through available valencies, that form a ring fused to the ring formed by J, K, L, and M or, in the case of Formula XXXVb, J and M form a bridged ring relative to the ring formed by J, K, L, and M.
70. A compound comprising Formula XXXVI:



XXXVI

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

71. A compound according to claim 70, wherein at least one of J, K, L and M is CO.

72. A compound according to claim 70, wherein at least one of J, K, L and M is SO.

73. A compound according to claim 70, wherein at least one of J, K, L and M is SO₂.

74. A compound according to claim 70, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

75. A compound according to claim 70, wherein the compound is a compound where J comprises a nitrogen ring atom.

76. A compound according to claim 70, wherein the compound is a compound where K comprises a nitrogen ring atom.
77. A compound according to claim 70, wherein the compound is a compound where L comprises a nitrogen ring atom.
78. A compound according to claim 70, wherein the compound is a compound where M comprises a nitrogen ring atom.
79. A compound according to claim 70, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.
80. A compound according to claim 70, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.
81. A compound according to claim 70, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
82. A compound according to claim 70, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
83. A compound according to claim 70, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
84. A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to the ring formed by J, K, L, and M.
85. A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a bridged ring relative to the ring formed by J, K, L, and M.

86. A compound selected from the group consisting of:

2-Aminomethyl-3-phenyl-3H-quinazolin-4-one;

2-Ethylaminomethyl-3-phenyl-3H-quinazolin-4-one;

[(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid methyl ester;

[(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid;

2-Aminomethyl-3-(2,4-dichloro-phenyl)-3H-quinazolin-4-one;

2-Aminomethyl-3-(2-chloro-phenyl)-3H-quinazolin-4-one; and

2-Aminomethyl-3-(4-chloro-phenyl)-3H-quinazolin-4-one.